

### ABSTRACT OF THE DISCLOSURE

The present invention is directed to novel methods for rapidly and unambiguously identifying small organic molecule ligands for binding to biological target molecules. Small organic molecule ligands identified according to the methods of the present invention may find use, for example, as novel therapeutic drug lead compounds, enzyme inhibitors, labeling compounds, diagnostic reagents, affinity reagents for protein purification, and the like. Also presented are novel methods for identifying high affinity binding ligands for a biological target molecule of interest, wherein those methods comprise linking two or more small organic molecule ligands previously identified as being capable of binding to the biological target molecule of interest. Biological target molecules include, for example, polypeptides, nucleic acids, carbohydrates, nucleoproteins, glycoproteins, glycolipids and lipoproteins.